PATENT
Divisional Application of Serial No. 10/230,353,
filed August 29, 2002
Attorney Docket No.: 38005-0188
Preliminary Amendment

Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims:

Claim 1. (Currently amended). A compound of the formula I,

in which

R1, R2, R3, R4 independently of one another are H[[;]], F, Cl, Br, I, CN, N3, NO2, OH, O(C1-C8)-alkyl, O(C3-C8)-cycloalkyl, O-CH2-phenyl, O-phenyl, O-CO-(C1-C8)-alkyl, O-CO-(C3-C8)-cycloalkyl, where in the alkyl radicals up to seven hydrogen atoms may be replaced by fluorine; S(O)₀₋₂(C1-C8)-alkyl, S(O)₀₋₂(C3-C8)-cycloalkyl, wherein in the alkyl radicals up to seven hydrogen atoms may be replaced by fluorine; NH2, NH-(C1-C8)-alkyl, NH-(C3-C8)-cycloalkyl, N[(C1-C8)-alkyl]2, N[(C3-C8)-cycloalkyl]2, NH-CO-(C1-C8)-alkyl, or NH-CO-(C3-C8)-cycloalkyl; SO3H; SO2-NH2, SO2-NH-(C1-C8)-alkyl, SO2-NH-(C3-C8)-cycloalkyl; SO2-(C1-C6)-alkyl NH-SO2-NH2; NH-SO2-(C1-C8)-alkyl, NH-SO2-(C3-C8)-cycloalkyl; O-CH2-COOH, O-CH2-CO-O(C1-C8)-alkyl, COOH, COO(C1-C8)-alkyl, CO-O-(C3-C8)-cycloalkyl, CO-NH2, CO-NH(C1-C8)-alkyl, CO-NI[(C1-C8)-alkyl]2;

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(C₁-C₈)-alkyl, (C₃-C₈)cycloalkyl, (C₂-C₈)-alkenyl, or (C₂-C₈)-alkynyl, wherein

<u>in</u> the alkyl, alkenyl and alkynyl groups one to seven hydrogen atoms may be replaced by fluorine;

or one hydrogen may be replaced by OH, OC(O)CH₃, O-CH₂-Ph, NH₂, NH-CO-CH₃ or N(COOCH₂Ph)₂;

phenyl, 1- or 2-naphthyl,

 $5-tetrazolyl, \ 1-[(C_1-C_6)-alkyl]-5-tetrazolyl, \ 2-[(C_1-C_6)-alkyl]-5-tetrazolyl, \\$

1-imidazolyl,

1-or 4-[1,2,4]-triazolyl,

2-or-3-thienyl,

2-or 3-furyl,

2-, 3- or 4-pyridyl,

2-, 4- or 5-oxazolyl,

3-, 4- or 5-isoxazolyl,

2-, 4-or 5-thiazolyl, or

3-, 4- or 5-isothiazolyl,

where the aryl radical or heterocycle may be substituted up to two times by

F, Cl, Br, CN,

OH, (C₁-C₄)-alkyl, CF₃, O-(C₁-C₄)-alkyl,

 $S(O)_{0.2}(C_1-C_6)$ -alkyl, NH_2 , $NH-SO_2$ - (C_1-C_4) -alkyl, COOH, $CO-O-(C_1-C_4)$ -alkyl, COOH, CO

alkyl, CO-NH₂ and wherein <u>in</u> the alkyl groups one to seven hydrogen atoms

may be replaced by fluorine; or

R2 and R3 together form the radical-O-CH2-O-;

X is [[S,]]SO, or SO₂;

Y is $(CH_2)_p$, where in p may be 0, 1, 2 or 3;

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is (C₁-C₁₈)-alkyl, or (C₃- C₈)-cycloalkyl,
wherein <u>in</u> the alkyl groups up to seven hydrogen atoms may be replaced by
fluorine;
(CH₂)₁₋₆-COOH, (CH₂)₁₋₆-COO-(C₁-C₆)-alkyl, (CH₂)₁₋₆-CONH₂;
CH₂-CH(NHR10)-COR11, where R10 may be H or C(O)-(C₁-C₆)-alkyl and

R11 may be OH, O- (C_1-C_6) -alkyl or NH₂;

phenyl, 1- or 2-naphthyl, <u>or</u> biphenyl or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by F, Cl, Br, I, CN, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₁-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl, SO₂-NH₂; NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl, O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂; CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂; (C₁-C₈)-alkyl, or (C₃-C₈)-cycloalkyl, wherein <u>in</u> the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

R6 is $(CH_2)_{0-6}$ -R9, $(CH_2)_{0-6}$ -COOH, $(CH_2)_{0-6}$ -COO- $(C_1$ -C₆)-alkyl, $(CH_2)_{0-6}$ -CONH₂, $(CH_2)_{0-6}$ -CH(NHR15)-COR16, F, Cl, Br, CN, $(C_1$ -C₁₈)-alkyl, $(C_3$ -C₄)-cycloalkyl, or $(C_6$ -C₈)-cycloalkyl, where in the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R15 is H[,] or C(O)- $(C_1$ - $C_6)$ -alkyl;

R16 is OH, O- (C_1-C_6) -alkyl, or NH₂,

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is (CH₂)₀₋₄-R12, H, (C₁-C₁₂)-alkyl, (C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl, COO(C₁-C₆)-alkyl, or COO(C₃-C₈)-cycloalkyl, wherein <u>in</u> the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

is (CH₂)_{0.4}-R14, (C₁-C₁₂)-alkyl, (C₃-C₄)-cycloalkyl, or (C₆-C₈)-cycloalkyl, wherein <u>in</u> the alkyl or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine atoms;

R9, R12, R14 independently of one another are phenyl, 1- or 2-naphthyl, or biphenyl, or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by

F, Cl, Br, I, CN, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl, SO₃H; SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl, NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂; (C₁-C₈)-alkyl, or (C₃-C₈)-cycloalkyl, wherein in the alkyl groups, in each case one to seven hydrogen atoms may be replaced by fluorine;

and their physiologically acceptable salts.

Claim 2. (Currently amended). The compounds of the formula I, as claimed in claim 1, where in

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R1, R2, R3, R4, independently of one another, are H, F, Cl, Br, N3, O(C1-C8)-alkyl, or (C1-C8)-alkyl and where in the alkyl groups one to seven hydrogen atoms may be replaced by fluorine;

where in each case, at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

- X is $[[S,]]SO, or SO_2$;
- Y is $(CH_2)_p$, where in p may be 0, 1, 2 or 3;
- R5 is (C_1-C_{18}) -alkyl, (C_3-C_4) -cycloalkyl, or (C_6-C_8) -cycloalkyl, wherein <u>in</u> the alkyl groups up to seven hydrogen atoms may be replaced by fluorine; $(CH_2)_{1-6}\text{-COOH}, (CH_2)_{1-6}\text{-COO}\text{-}(C_1-C_6)\text{-alkyl}, (CH_2)_{1-6}\text{-CONH}_2; \\ CH_2\text{-CH(NHR10)-COR11}, where R10 may be H or C(O)\text{-}(C_1-C_6)\text{-alkyl} and \\ R11 may be OH, O\text{-}(C_1-C_6)\text{-alkyl} or NH}_2;$

phenyl, 1- or 2-naphthyl, <u>or</u> biphenyl or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by F, Cl, Br, I, CN, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl, SO₃H; SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl, NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl, O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂; (C₁-C₈)-alkyl, or (C₃-C₈)-cycloalkyl, wherein <u>in</u> the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

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is (CH₂)₀₋₆-R9, (CH₂)₀₋₆-COOH, (CH₂)₀₋₆-COO-(C₁-C₆)-alkyl, (CH₂)₀₋₆-CONH₂, (CH₂)₀₋₆-CH(NHR15)-COR16, F, Cl, Br, CN, (C₁-C₁₈)-alkyl, (C₃-C₄)-cycloalkyl, or (C₆-C₈)-cycloalkyl, where in the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R15 is H[,] or $C(O)-(C_1-C_6)$ -alkyl;

R16 is OH, O- (C_1-C_6) -alkyl, or NH₂;

R7 is (CH₂)₀₋₄-R12, H, (C₁-C₁₂)-alkyl, (C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl, COO(C₁-C₆)-alkyl, or COO(C₃-C₈)-cycloalkyl, wherein <u>in</u> the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R8 is (CH₂)₀₋₄-R14, (C₁-C₁₂)-alkyl, (C₃-C₄)-cycloalkyl, or (C₆-C₈)-cycloalkyl, wherein <u>in</u> the alkyl or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine atoms;

R9, R12, R14 independently of one another are phenyl, 1- or 2-naphthyl, or biphenyl, or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by

F, Cl, Br, I, CN, OH, O(C1-C8)-alkyl, O(C3-C8)-cycloalkyl, O-CO-(C1-C8)-alkyl, O-CO-(C3-C8)-cycloalkyl, S(O)0-2(C1-C8)-alkyl, S(O)0-2(C3-C8)-cycloalkyl, NH2, NH-(C1-C8)-alkyl, NH-(C3-C8)-cycloalkyl, N[(C1-C8)-alkyl]2, N[(C3-C8)-cycloalkyl]2, NH-CO-(C1-C8)-alkyl, NH-CO-(C3-C8)-cycloalkyl; SO3H, SO2-NH2, SO2-NH-(C1-C8)-alkyl, SO2-NH-(C3-C8)-cycloalkyl, NH-SO2-NH2; NH-SO2-(C1-C8)-alkyl, NH-SO2-(C3-C8)-cycloalkyl; O-CH2-COOH, O-CH2-CO-O(C1-C8)-alkyl, COOH, CO-O(C1-C8)-alkyl, CO-O-(C3-C8)-cycloalkyl, CO-NH2, CO-NH(C1-C8)-alkyl, CO-N[(C1-C8)-alkyl]2;

 (C_1-C_8) -alkyl, or (C_3-C_8) -cycloalkyl, wherein <u>in</u> the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

and their physiologically acceptable salts.

- Claim 3. (Currently amended). The compounds of the formula I, as claimed in claim 1, where in
- R1, R2, R3, R4 independently of one another are H, F, Cl, Br, N₃, O(C₁-C₈)-alkyl, or (C₁-C₈)-alkyl and wherein <u>in</u> the alkyl groups one to seven hydrogen atoms may be replaced by fluorine;

where in each case at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

- X is $SO[SO_2]$;
- Y is $(CH_2)_p$, where in p may be 0, 1 or 2;
- R5 is (C_1-C_8) -alkyl, wherein <u>in</u> the alkyl group up to seven hydrogen atoms may be replaced by fluorine;
- R6 is F, Cl, Br, CN, or (C₁-C₈)-alkyl, wherein <u>in</u> the alkyl group up to seven hydrogen atoms may be replaced by fluorine;
- R7 is H, or (C_1-C_{12}) -alkyl, wherein <u>in</u> the alkyl group up to seven hydrogen atoms may be replaced by fluorine;
- R8 is (C_1-C_{12}) -alkyl, wherein <u>in</u> the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

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and their physiologically acceptable salts.

(original) A pharmaceutical composition comprising an effective amount of a Claim 4.

compound of formula I as claimed in claim 1, and a pharmaceutically acceptable carrier.

(original) The pharmaceutical composition according to claim 4, further Claim 5.

comprising one or more active compounds suitable for reducing weight or for the treatment of

obesity.

(original) The pharmaceutical composition according to claim 4, further Claim 6.

comprising one or more of the agents selected from the group consisting of cathine,

phenylpropanolamine, amfepramone, mefenorex, ephedrine, leptin, dexamphetamine,

amphetamine, fenfluramine, dexfenfluramine, sibutramine, orlistat, mazindol or phentermine

or their salts for preparing a medicament for reducing weight in mammals.

(original) A method for the treating obesity, comprising administering to a Claim 7.

subject in need thereof, an effective amount of a compound according to formula I as claimed

in claim 1.

(original) A method of reducing weight in a mammal, comprising Claim 8.

administering to said mammal an effective amount of a compound of formula I as claimed in

claim 1.

(original) A method of maintaining weight loss, comprising administering to a Claim 9.

subject in need thereof, an effective amount of a compound of formula I as claimed in claim

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(original) The method of claim 9, further comprising administering one or Claim 10. more active compounds for reducing weight in mammals.